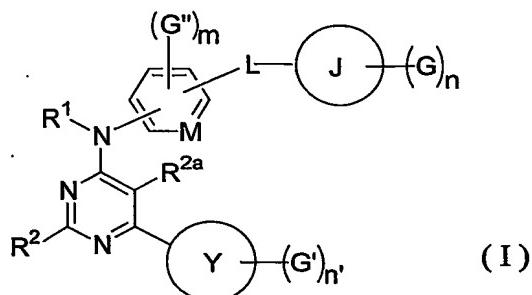


WE CLAIM:

1. A compound having the structure



5

wherein

R<sup>1</sup> represents H, (C<sub>1</sub>-C<sub>3</sub>)alkyl, or cyclopropyl;

R<sup>2</sup> represents (C<sub>1</sub>-C<sub>3</sub>)alkyl, cyclopropyl, O(C<sub>1</sub>-C<sub>3</sub>)alkyl, or NR<sup>3</sup>R<sup>4</sup>  
wherein R<sup>3</sup> and R<sup>4</sup> are H, (C<sub>1</sub>-C<sub>3</sub>)alkyl, or cyclopropyl;

10 R<sup>2a</sup> represents H or halogen;

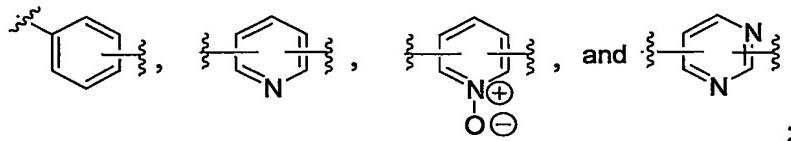
M represents CH or N;

L represents a carbonyl group, O, NR<sup>5</sup>, CR<sup>6</sup>R<sup>7</sup>, or (C<sub>2</sub>-C<sub>3</sub>)alkylenyl which is  
optionally substituted up to twice by groups independently selected from  
halogen and OH; wherein

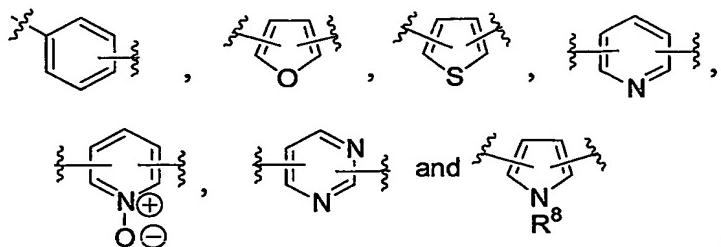
15 R<sup>5</sup> is H or (C<sub>1</sub>-C<sub>3</sub>)alkyl; and

R<sup>6</sup> and R<sup>7</sup> are independently H, CH<sub>3</sub>, halogen, or OH;

J represents an aromatic or heteroaromatic ring selected from the group consisting of



Y represents an aromatic or heteroaromatic ring selected from the group consisting of



20

wherein R<sup>8</sup> represents H or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

G" represents a substituent selected from the group consisting of (C<sub>1</sub>-C<sub>3</sub>)alkyl, cyclopropyl, O(C<sub>1</sub>-C<sub>3</sub>)alkyl, halogen, CF<sub>3</sub>, CN and CO<sub>2</sub>R<sup>9</sup>;

wherein

R<sup>9</sup> represents H or (C<sub>1</sub>-C<sub>3</sub>)alkyl; and

5 m represents the number of substituents G", and is 0, 1, or 2;

G represents a substituent located on ring J;

G' represents a substituent located on ring Y;

n represents the number of substituents G; and

n' represents the number of substituents G' ;

10 n and n' are independently 0, 1, 2, or 3, subject to the provisos that

- 1) ring J and ring Y each may be substituted independently up to 3 times by substituents listed below as numbers G1-G2, to a maximum total of 4 substituents on rings J and Y,
- 2) ring J and ring Y each may be substituted independently up to 2 times by substituents listed below as numbers G3-G11, to a maximum total of 3 substituents on rings J and Y, and
- 3) ring J and ring Y each may be substituted independently once by a substituent selected from those listed below as numbers G12-G37;

and subject to the further provisos

- 20 4) when J is phenyl, G is other than OH or alkylthio; and when J is phenyl or pyridyl, n is 1, 2, or 3;
- 5) when J is phenyl, and G is G4 shown below, then R<sup>2</sup> is NR<sup>3</sup>R<sup>4</sup>;

G and G' moieties are independently selected from the group consisting of:

G1) halogen ;

25

G2) O(C<sub>1</sub>-C<sub>4</sub>)alkyl which optionally is substituted up to two times by O(C<sub>1</sub>-C<sub>2</sub>)alkyl;

G3) OH ;

30

G4) (C<sub>1</sub>-C<sub>5</sub>)alkyl, which is optionally substituted independently up to two times by groups selected from hydroxyl and cyano, or up to three times by halogen;

G5)  $\text{OCF}_3$ ;

G6)  $\text{NHC(O)(C}_1\text{-C}_3\text{)alkyl}$ ;

5 G7)  $\text{NHSO}_2(\text{C}_1\text{-C}_3\text{)alkyl}$ ;

G8)  $\text{NR}^{10}\text{R}^{11}$ , wherein

$\text{R}^{10}$  and  $\text{R}^{11}$  are independently selected from

H,

10 CH<sub>3</sub>,

cyclopropyl,

benzyl,

NR<sup>12</sup>R<sup>13</sup> wherein

$\text{R}^{12}$  and  $\text{R}^{13}$  are independently H or ( $\text{C}_1\text{-C}_3$ )alkyl,

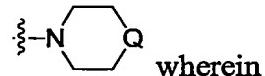
15 provided that both  $\text{R}^{10}$  and  $\text{R}^{11}$  are not NR<sup>12</sup>R<sup>13</sup> simultaneously,

and

( $\text{C}_2\text{-C}_4$ )alkyl which is optionally substituted up to three times by halogen, and up to two times by substituent groups independently selected from hydroxyl, O( $\text{C}_1\text{-C}_3$ )alkyl, and NR<sup>14</sup>R<sup>15</sup>, wherein

$\text{R}^{14}$  and  $\text{R}^{15}$  are independently H or ( $\text{C}_1\text{-C}_3$ )alkyl, or

$\text{R}^{14}$  and  $\text{R}^{15}$  can join to form a heterocycle of formula



wherein

Q represents CH<sub>2</sub>, O, or NR<sup>16</sup>, and

R<sup>16</sup> represents H or ( $\text{C}_1\text{-C}_3$ )alkyl,

or

30  $\text{R}^{10}$  and  $\text{R}^{11}$  may be joined to form a saturated 5-6-membered N-containing ring which is optionally substituted up to two times by

OH,

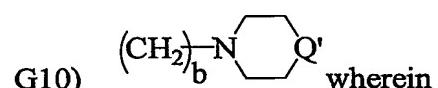
NR<sup>17</sup>R<sup>18</sup>, wherein

R<sup>17</sup> and R<sup>18</sup> are H or (C<sub>1</sub>-C<sub>3</sub>)alkyl,  
 or by  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl which is optionally substituted up to two times by  
 halogen, OH, or O(C<sub>1</sub>-C<sub>3</sub>)alkyl;

5

G9) (CH<sub>2</sub>)<sub>a</sub>-NR<sup>19</sup>R<sup>20</sup> wherein  
 R<sup>19</sup> and R<sup>20</sup> are independently H, (C<sub>1</sub>-C<sub>5</sub>)alkyl, or  
 (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, or may be joined to form a saturated  
 5-6-membered N-containing ring; and  
 the subscript "a" is an integer of 1-4;

10

G10)  wherein  
 Q' is O or NR<sup>21</sup> ;  
 R<sup>21</sup> is H, (C<sub>1</sub>-C<sub>3</sub>)alkyl, or cyclopropyl; and  
 the subscript "b" is an integer of 1-3;

15

G11) CH<sub>2</sub>NR<sup>22</sup>(CH<sub>2</sub>)<sub>c</sub>OCH<sub>3</sub> wherein  
 R<sup>22</sup> is H, (C<sub>1</sub>-C<sub>3</sub>)alkyl, or cyclopropyl; and  
 the subscript "c" is an integer of 2-4;

20

G12) OSO<sub>2</sub>NR<sup>23</sup>R<sup>24</sup> wherein  
 R<sup>23</sup> and R<sup>24</sup> independently represent H, CH<sub>3</sub>, or (C<sub>2</sub>-C<sub>4</sub>)alkyl  
 which may optionally be substituted once by OH or  
 NR<sup>25</sup>R<sup>26</sup>, wherein  
 R<sup>25</sup> and R<sup>26</sup> independently represent H or  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl;

25

G13) CN ;

30

G14) NO<sub>2</sub> ;

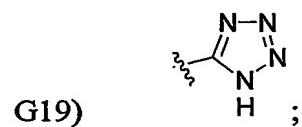
G15) cyclopropyl ;

G16) OR<sup>27</sup>, wherein  
R<sup>27</sup> represents phenyl or benzyl;

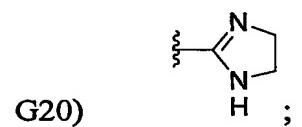
G17) S(C<sub>1</sub>-C<sub>3</sub>)alkyl;

5

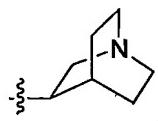
G18) CH=CH-(CH<sub>2</sub>)<sub>1-3</sub>-OR<sup>5</sup>; wherein  
R<sup>5</sup> represents H or (C<sub>1</sub>-C<sub>3</sub>)alkyl;



10



G21) C(O)NR<sup>28</sup>R<sup>29</sup>, wherein  
R<sup>28</sup> and R<sup>29</sup> are independently selected from  
H,  
15 cyclopropyl, provided that both R<sup>28</sup> and R<sup>29</sup> are not simultaneously cyclopropyl,



, provided that this group does not constitute both R<sup>28</sup> and R<sup>29</sup> simultaneously,

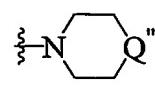
20

and  
(C<sub>1</sub>-C<sub>3</sub>)alkyl which is optionally substituted up to two times by OH;

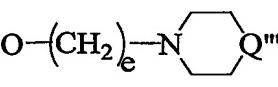
or

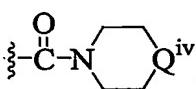
25

R<sup>28</sup> and R<sup>29</sup> may be joined to form a saturated 5-6-membered N-containing ring which is optionally substituted up to two times by OH, or by (C<sub>1</sub>-C<sub>3</sub>)alkyl which in turn is optionally substituted up to two times by OH or O(C<sub>1</sub>-C<sub>3</sub>)alkyl;

G22)  wherein  
 Q'' is O or NR<sup>30</sup>, and  
 R<sup>30</sup> is  
 H,  
 5 cyclopropyl, or  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl which is optionally substituted once by  
 halogen, OH, or O(C<sub>1</sub>-C<sub>3</sub>)alkyl;

G23) O-(CH<sub>2</sub>)<sub>d</sub>-NR<sup>31</sup>R<sup>32</sup> wherein  
 10 R<sup>31</sup> and R<sup>32</sup> are independently H, (C<sub>1</sub>-C<sub>3</sub>)alkyl, or cyclopropyl,  
 or may be joined to form a saturated 5-6-membered  
 N-containing ring; and  
 the subscript "d" is an integer of 2-4;

G24) O-(CH<sub>2</sub>)<sub>e</sub>-N wherein  
 15 the subscript "e" is an integer of 2-3; and  
 Q''' is O or NR<sup>33</sup>; and  
 R<sup>33</sup> is H, (C<sub>1</sub>-C<sub>3</sub>)alkyl, or cyclopropyl;

G25)  wherein  
 20 Q'''' is O or NR<sup>34</sup>; and  
 R<sup>34</sup> is H, (C<sub>1</sub>-C<sub>3</sub>)alkyl, or cyclopropyl;

G26) C(O)NR<sup>35</sup>(CH<sub>2</sub>)<sub>f</sub>OR<sup>36</sup> wherein  
 25 R<sup>35</sup> is H, (C<sub>1</sub>-C<sub>3</sub>)alkyl, or cyclopropyl;  
 R<sup>36</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted up to two times by  
 halogen, OH, or O(C<sub>1</sub>-C<sub>3</sub>)alkyl, and  
 the subscript "f" is an integer of 2-4;

G27) CO<sub>2</sub>R<sup>37</sup> wherein  
 30 R<sup>37</sup> is H or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

G28) phenyl, which is optionally substituted by up to 2 groups selected from halogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl, OR<sup>38</sup>, CN, CF<sub>3</sub>, and NR<sup>39</sup>R<sup>40</sup>  
wherein

5 R<sup>38</sup> represents H or (C<sub>1</sub>-C<sub>3</sub>)alkyl; and  
R<sup>39</sup> and R<sup>40</sup> represent H or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

G29) NR<sup>41</sup>SO<sub>2</sub>NR<sup>42</sup>R<sup>43</sup> wherein

10 R<sup>41</sup> represents H, or (C<sub>1</sub>-C<sub>4</sub>)alkyl, and  
R<sup>42</sup> and R<sup>43</sup> independently represent H, CH<sub>3</sub>, or (C<sub>2</sub>-C<sub>3</sub>)alkyl  
which may optionally be substituted once by -OH or  
NR<sup>44</sup>R<sup>45</sup>, wherein  
R<sup>44</sup> and R<sup>45</sup> independently represent H or  
(C<sub>1</sub>-C<sub>3</sub>)alkyl;

15 G30) OC(O)-CH<sub>2</sub>-NR<sup>46</sup>R<sup>47</sup> wherein  
R<sup>46</sup> and R<sup>47</sup> independently represent H, (C<sub>1</sub>-C<sub>3</sub>)alkyl, or  
CO<sub>2</sub>(t-butyl), provided that R<sup>46</sup> and R<sup>47</sup> are not both  
simultaneously CO<sub>2</sub>(t-butyl);

20 G31) N(R<sup>48</sup>)C(O)R<sup>49</sup> wherein  
R<sup>48</sup> represents H or (C<sub>1</sub>-C<sub>3</sub>)alkyl; and  
R<sup>49</sup> represents  
(CH<sub>2</sub>)<sub>1-3</sub>-CO<sub>2</sub>H,  
O(C<sub>2</sub>-C<sub>4</sub>)alkyl,  
(CH<sub>2</sub>)<sub>1-4</sub>-NR<sup>50</sup>R<sup>51</sup> wherein  
R<sup>50</sup> and R<sup>51</sup> independently represent H or  
(C<sub>1</sub>-C<sub>3</sub>)alkyl, or  
CH(R<sup>52</sup>)-NR<sup>53</sup>R<sup>54</sup> wherein  
30 R<sup>52</sup> represents (CH<sub>2</sub>)<sub>1-4</sub>-NH<sub>2</sub>, CH<sub>2</sub>OH,  
CH(CH<sub>3</sub>)OH, or (C<sub>1</sub>-C<sub>3</sub>)alkyl; and  
R<sup>53</sup> and R<sup>54</sup> independently represent H or  
(C<sub>1</sub>-C<sub>3</sub>)alkyl;

G32) C(O)-(C<sub>1</sub>-C<sub>3</sub>)alkyl;

G33) (CH<sub>2</sub>)<sub>g</sub>-N(R<sup>55</sup>)-C(O)-R<sup>56</sup> wherein

5 g represents 1, 2, or 3;

R<sup>55</sup> represents H or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

R<sup>56</sup> represents

(C<sub>1</sub>-C<sub>3</sub>)alkyl optionally substituted up to two times by

OR<sup>57</sup> or NR<sup>58</sup>R<sup>59</sup>, wherein

R<sup>57</sup> represents H or (C<sub>1</sub>-C<sub>3</sub>)alkyl, and

10 R<sup>58</sup> and R<sup>59</sup> each represents H or

(C<sub>1</sub>-C<sub>3</sub>)alkyl,

or R<sup>56</sup> represents  wherein

R<sup>60</sup> represents halogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl, O(C<sub>1</sub>-C<sub>3</sub>)alkyl,

CN, OH, CF<sub>3</sub>, or NR<sup>61</sup>R<sup>62</sup>, wherein

15 R<sup>61</sup> and R<sup>62</sup> represent H or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

and

h represents 0, 1, or 2;

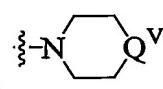
G34) (CH<sub>2</sub>)<sub>i</sub>-N(R<sup>63</sup>)-C(O)-NR<sup>64</sup>R<sup>65</sup> wherein

20 i represents 1, 2, or 3;

R<sup>63</sup> represents H or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

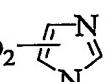
R<sup>64</sup> and R<sup>65</sup> each represents H or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

or

25 R<sup>64</sup> and R<sup>65</sup> may be joined to form  wherein

Q<sup>V</sup> represents CH<sub>2</sub>, O or NR<sup>66</sup> wherein

R<sup>66</sup> represents H or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

(CH<sub>2</sub>)<sub>j</sub>-N(R<sup>67</sup>)-SO<sub>2</sub>-

G35) R<sup>68</sup> wherein

j represents 1, 2, or 3;

30 R<sup>67</sup> represents H or (C<sub>1</sub>-C<sub>3</sub>)alkyl; and

$R^{68}$  represents H or  $(C_1-C_3)alkyl$ ;

G36)  $(CH_2)_k-N(R^{69})-SO_2-R^{70}$  wherein

$k$  represents 1, 2, or 3;

5  $R^{69}$  represents H or  $(C_1-C_3)alkyl$ ; and

$R^{70}$  represents  $(C_1-C_4)alkyl$ , or phenyl which is optionally substituted up to perhalo by halogen or up to three times by  $OR^{71}$ ,  $CN$ ,  $CF_3$ , or  $NR^{72}R^{73}$ , wherein

10  $R^{71}$  represents H or  $(C_1-C_3)alkyl$ ; and

$R^{72}$  and  $R^{73}$  each represents H or  $(C_1-C_3)alkyl$ ;

G37)  $CH=CH-(CH_2)_{1-3}-NR^{74}R^{75}$  wherein

$R^{74}$  and  $R^{75}$  represent H or  $(C_1-C_3)alkyl$ ;

or a pharmaceutically acceptable salt, solvate, solvate of a salt, or stereoisomer thereof.

15

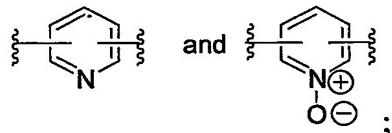
2. The compound of claim 1

wherein

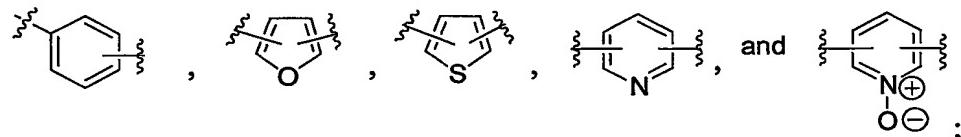
$R^1$  represents H;

$M$  represents CH;

20  $J$  represents a heteroaromatic ring selected from the group consisting of



$Y$  represents an aromatic or heteroaromatic ring selected from the group consisting of



n and n' are independently 0, 1, 2, or 3, subject to the provisos that

25

1) ring  $J$  and ring  $Y$  each may be substituted independently up to 3 times by substituents listed below as numbers G1-G2, to a maximum total of 4 substituents on rings  $J$  and  $Y$ ,

2) ring  $J$  and ring  $Y$  each may be substituted independently up to 2 times by substituents listed below as numbers G3-G5 and G8, to a maximum total of 3 substituents on rings  $J$  and  $Y$ , and

30

3) ring J and ring Y each may be substituted independently once by a substituent selected from those listed below as numbers G12, G13, G22, G29, and G31;

and subject to the further proviso

5 4) when J is pyridyl, n is 1, 2, or 3;

and proviso 5 does not apply;

G and G' moieties are independently selected from the group consisting of:

G1) halogen ;

10 G2) O(C<sub>1</sub>-C<sub>4</sub>)alkyl which optionally is substituted up to two times by O(C<sub>1</sub>-C<sub>2</sub>)alkyl;

G3) OH ;

15 G4) (C<sub>1</sub>-C<sub>5</sub>)alkyl, which is optionally substituted independently up to two times by groups selected from hydroxyl and cyano, or up to three times by halogen;

G5) OCF<sub>3</sub> ;

20 G8) NR<sup>10</sup>R<sup>11</sup>, wherein  
R<sup>10</sup> and R<sup>11</sup> are independently selected from

H,

CH<sub>3</sub>,

cyclopropyl,

benzyl,

NR<sup>12</sup>R<sup>13</sup> wherein

R<sup>12</sup> and R<sup>13</sup> are independently H or (C<sub>1</sub>-C<sub>3</sub>)alkyl,  
provided that both R<sup>10</sup> and R<sup>11</sup> are not NR<sup>12</sup>R<sup>13</sup>

30 simultaneously,

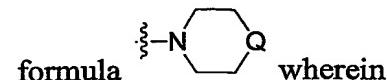
and

(C<sub>2</sub>-C<sub>4</sub>)alkyl which is optionally substituted up to three times by halogen, and up to two times by substituent groups

independently selected from hydroxyl,  $O(C_1-C_3)alkyl$ , and  $NR^{14}R^{15}$ , wherein

$R^{14}$  and  $R^{15}$  are independently H or  $(C_1-C_3)alkyl$ , or

$R^{14}$  and  $R^{15}$  can join to form a heterocycle of



$Q$  represents  $CH_2$ , O, or  $NR^{16}$ , and

$R^{16}$  represents H or  $(C_1-C_3)alkyl$ ,

or

10  $R^{10}$  and  $R^{11}$  may be joined to form a saturated 5-6-membered N-containing ring which is optionally substituted up to two times by

$OH$ ,

$NR^{17}R^{18}$ , wherein

15  $R^{17}$  and  $R^{18}$  are H or  $(C_1-C_3)alkyl$ ,

or by

$(C_1-C_3)alkyl$  which is optionally substituted up to two times by halogen, OH, or  $O(C_1-C_3)alkyl$ ;

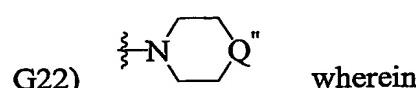
20 G12)  $OSO_2NR^{23}R^{24}$  wherein

$R^{23}$  and  $R^{24}$  independently represent H,  $CH_3$ , or  $(C_2-C_4)alkyl$  which may optionally be substituted once by OH or  $NR^{25}R^{26}$ , wherein

25  $R^{25}$  and  $R^{26}$  independently represent H or

$(C_1-C_3)alkyl$ ;

G13)  $CN$ ;



30  $Q''$  is O or  $NR^{30}$ , and

$R^{30}$  is

H,

cyclopropyl, or  
 $(C_1\text{-}C_3)\text{alkyl}$  which is optionally substituted once by  
 halogen, OH, or  $O(C_1\text{-}C_3)\text{alkyl}$ ;

5           G29)  $NR^{41}\text{SO}_2\text{NR}^{42}\text{R}^{43}$  wherein  
 $R^{41}$  represents H, or  $(C_1\text{-}C_4)\text{alkyl}$ , and  
 $R^{42}$  and  $R^{43}$  independently represent H,  $\text{CH}_3$ , or  $(C_2\text{-}C_3)\text{alkyl}$   
 which may optionally be substituted once by -OH or  
 $NR^{44}\text{R}^{45}$ , wherein  
 10            $R^{44}$  and  $R^{45}$  independently represent H or  
 $(C_1\text{-}C_3)\text{alkyl}$ ; and

15           G31)  $N(R^{48})\text{C(O)R}^{49}$  wherein  
 $R^{48}$  represents H or  $(C_1\text{-}C_3)\text{alkyl}$ ; and  
 20            $R^{49}$  represents  
 $(\text{CH}_2)_{1\text{-}3}\text{-CO}_2\text{H}$ ,  
 $O(C_2\text{-}C_4)\text{alkyl}$ ,  
 $(\text{CH}_2)_{1\text{-}4}\text{-NR}^{50}\text{R}^{51}$  wherein  
 $R^{50}$  and  $R^{51}$  independently represent H or  
 $(C_1\text{-}C_3)\text{alkyl}$ , or  
 $25           \text{CH}(R^{52})\text{-NR}^{53}\text{R}^{54}$  wherein  
 $R^{52}$  represents  $(\text{CH}_2)_{1\text{-}4}\text{-NH}_2$ ,  $\text{CH}_2\text{OH}$ ,  
 $\text{CH}(\text{CH}_3)\text{OH}$ , or  $(C_1\text{-}C_3)\text{alkyl}$ ; and  
 $R^{53}$  and  $R^{54}$  independently represent H or  
 $(C_1\text{-}C_3)\text{alkyl}$ .

3.       The compound of claim 2

wherein

30        $R^1$  represents H;  
 $R^2$  represents  $O(C_1\text{-}C_3)\text{alkyl}$  or  $NR^3\text{R}^4$   
 wherein  $R^3$  and  $R^4$  are H or  $(C_1\text{-}C_3)\text{alkyl}$ ;  
 $R^{2a}$  represents H;  
 $L$  represents O or  $CR^6\text{R}^7$  wherein

R<sup>6</sup> and R<sup>7</sup> are independently H, CH<sub>3</sub>, or OH;

G" represents a substituent selected from the group consisting of O(C<sub>1</sub>-C<sub>3</sub>)alkyl, halogen, and CF<sub>3</sub>;

n and n' are independently 0 or 1, and provisos 1-3 do not apply;

5 G and G' moieties are independently selected from the group consisting of:

G1) Cl or F;

10 G2) O(C<sub>1</sub>-C<sub>3</sub>)alkyl;

G3) OH ;

15 G4) (C<sub>1</sub>-C<sub>3</sub>)alkyl, which is optionally substituted up to three times by halogen;

G5) OCF<sub>3</sub> ;

20 G8) NR<sup>10</sup>R<sup>11</sup>, wherein

R<sup>10</sup> and R<sup>11</sup> are independently selected from

H,

25 CH<sub>3</sub>,

cyclopropyl,

benzyl,

NR<sup>12</sup>R<sup>13</sup> wherein

25 R<sup>12</sup> and R<sup>13</sup> are independently H or (C<sub>1</sub>-C<sub>3</sub>)alkyl,

provided that both R<sup>10</sup> and R<sup>11</sup> are not NR<sup>12</sup>R<sup>13</sup>

simultaneously,

and

30 (C<sub>2</sub>-C<sub>4</sub>)alkyl which is optionally substituted up to three times

by halogen, and up to two times by substituent groups

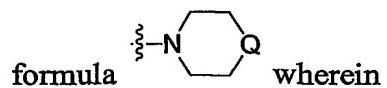
independently selected from hydroxyl, O(C<sub>1</sub>-C<sub>3</sub>)alkyl,

and NR<sup>14</sup>R<sup>15</sup>, wherein

R<sup>14</sup> and R<sup>15</sup> are independently H or

(C<sub>1</sub>-C<sub>3</sub>)alkyl, or

$R^{14}$  and  $R^{15}$  can join to form a heterocycle of



wherein  
Q represents  $CH_2$ , O, or  $NR^{16}$ , and

$R^{16}$  represents H or  $(C_1-C_3)alkyl$ ,

5

G12)  $OSO_2NR^{23}R^{24}$  wherein

$R^{23}$  and  $R^{24}$  independently represent H,  $CH_3$ , or  $(C_2-C_4)alkyl$  which may optionally be substituted once by OH or  $NR^{25}R^{26}$ , wherein

10  $R^{25}$  and  $R^{26}$  independently represent H or  $(C_1-C_3)alkyl$ ;

G13) CN ;

G22) 

wherein

15  $Q''$  is O or  $NR^{30}$ , and

$R^{30}$  is H or  $(C_1-C_3)alkyl$ ; and

G31)  $N(R^{48})C(O)R^{49}$  wherein

$R^{48}$  represents H or  $(C_1-C_3)alkyl$ ; and

20  $R^{49}$  represents

$(CH_2)_{1-3}-CO_2H$ ,

$O(C_2-C_4)alkyl$ ,

$(CH_2)_{1-4}-NR^{50}R^{51}$  wherein

25  $R^{50}$  and  $R^{51}$  independently represent H or  $(C_1-C_3)alkyl$ ,

or

$CH(R^{52})-NR^{53}R^{54}$  wherein

$R^{52}$  represents  $(CH_2)_{1-4}-NH_2$ ,  $CH_2OH$ ,  $CH(CH_3)OH$ , or

$(C_1-C_3)alkyl$ ; and

30  $R^{53}$  and  $R^{54}$  independently represent H or  $(C_1-C_3)alkyl$ .

4.

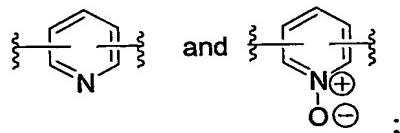
The compound of claim 1

wherein

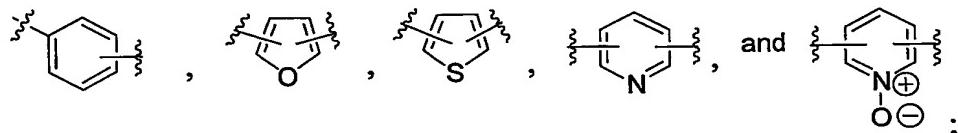
R<sup>1</sup> represents H;

M represents CH;

J represents a heteroaromatic ring selected from the group consisting of



5 Y represents an aromatic or heteroaromatic ring selected from the group consisting of



n and n' are independently 0, 1, 2, or 3, subject to the provisos that

- 1) ring J and ring Y each may be substituted independently up to 3 times by substituents listed below as numbers G1-G2, to a maximum total of 4 substituents on rings J and Y,
- 2) ring J and ring Y each may be substituted independently up to 2 times by substituents listed below as numbers G3-G5 and G8, to a maximum total of 3 substituents on rings J and Y, and
- 3) ring J and ring Y each may be substituted independently once by a substituent selected from those listed below as numbers G12, G21, G25, G26, and G31;

10 and subject to the further proviso

- 4) when J is pyridyl, n is 1, 2, or 3;

15 and proviso 5 does not apply;

G and G' moieties are independently selected from the group consisting of:

20 G1) halogen ;

G2) O(C<sub>1</sub>-C<sub>4</sub>)alkyl which optionally is substituted up to two times by O(C<sub>1</sub>-C<sub>2</sub>)alkyl;

25

G3) OH ;

30

G4) (C<sub>1</sub>-C<sub>5</sub>)alkyl, which is optionally substituted independently up to two times by groups selected from hydroxyl and cyano, or up to three times by halogen;

G5)  $\text{OCF}_3$ ;

G8)  $\text{NR}^{10}\text{R}^{11}$ , wherein

5  $\text{R}^{10}$  and  $\text{R}^{11}$  are independently selected from

H,

$\text{CH}_3$ ,

cyclopropyl,

benzyl,

10  $\text{NR}^{12}\text{R}^{13}$  wherein

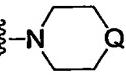
$\text{R}^{12}$  and  $\text{R}^{13}$  are independently H or  $(\text{C}_1\text{-C}_3)\text{alkyl}$ ,  
provided that both  $\text{R}^{10}$  and  $\text{R}^{11}$  are not  $\text{NR}^{12}\text{R}^{13}$   
simultaneously,

and

15  $(\text{C}_2\text{-C}_4)\text{alkyl}$  which is optionally substituted up to three times  
by halogen, and up to two times by substituent groups  
independently selected from hydroxyl,  $\text{O}(\text{C}_1\text{-C}_3)\text{alkyl}$ ,  
and  $\text{NR}^{14}\text{R}^{15}$ , wherein

$\text{R}^{14}$  and  $\text{R}^{15}$  are independently H or  
 $(\text{C}_1\text{-C}_3)\text{alkyl}$ , or

20  $\text{R}^{14}$  and  $\text{R}^{15}$  can join to form a heterocycle of

formula  wherein

Q represents  $\text{CH}_2$ , O, or  $\text{NR}^{16}$ , and

$\text{R}^{16}$  represents H or  $(\text{C}_1\text{-C}_3)\text{alkyl}$ ,

25 or

$\text{R}^{10}$  and  $\text{R}^{11}$  may be joined to form a saturated 5-6-membered  
N-containing ring which is optionally substituted up to two  
times by

OH,

30  $\text{NR}^{17}\text{R}^{18}$ , wherein

$\text{R}^{17}$  and  $\text{R}^{18}$  are H or  $(\text{C}_1\text{-C}_3)\text{alkyl}$ ,

or by

(C<sub>1</sub>-C<sub>3</sub>)alkyl which is optionally substituted up to two times by halogen, OH, or O(C<sub>1</sub>-C<sub>3</sub>)alkyl;

5 G12) OSO<sub>2</sub>NR<sup>23</sup>R<sup>24</sup> wherein

R<sup>23</sup> and R<sup>24</sup> independently represent H, CH<sub>3</sub>, or (C<sub>2</sub>-C<sub>4</sub>)alkyl which may optionally be substituted once by OH or NR<sup>25</sup>R<sup>26</sup>,

wherein

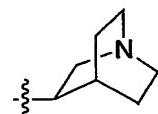
R<sup>25</sup> and R<sup>26</sup> independently represent H or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

10 G21) C(O)NR<sup>28</sup>R<sup>29</sup>, wherein

R<sup>28</sup> and R<sup>29</sup> are independently selected from

H,

cyclopropyl, provided that both R<sup>28</sup> and R<sup>29</sup> are not simultaneously cyclopropyl,



15 , provided that this group does not constitute both R<sup>28</sup> and R<sup>29</sup> simultaneously,

and

(C<sub>1</sub>-C<sub>3</sub>)alkyl which is optionally substituted up to two times by OH;

20 or

R<sup>28</sup> and R<sup>29</sup> may be joined to form a saturated 5-6-membered N-containing ring which is optionally substituted up to two times by OH, or by (C<sub>1</sub>-C<sub>3</sub>)alkyl which in turn is optionally substituted up to two times by OH or O(C<sub>1</sub>-C<sub>3</sub>)alkyl;

25

G25) wherein

Q<sup>iv</sup> is O or NR<sup>34</sup>; and

R<sup>34</sup> is H, (C<sub>1</sub>-C<sub>3</sub>)alkyl, or cyclopropyl;

30

G26) C(O)NR<sup>35</sup>(CH<sub>2</sub>)<sub>f</sub>OR<sup>36</sup> wherein

$R^{35}$  is H, ( $C_1-C_3$ )alkyl, or cyclopropyl;

$R^{36}$  is ( $C_1-C_6$ )alkyl optionally substituted up to two times by halogen, OH, or  $O(C_1-C_3)$ alkyl, and the subscript "f" is an integer of 2-4; and

5

G31)  $N(R^{48})C(O)R^{49}$  wherein

$R^{48}$  represents H or ( $C_1-C_3$ )alkyl; and

$R^{49}$  represents

$(CH_2)_{1-3}-CO_2H$ ,

$O(C_2-C_4)$ alkyl,

$(CH_2)_{1-4}-NR^{50}R^{51}$  wherein

$R^{50}$  and  $R^{51}$  independently represent H or ( $C_1-C_3$ )alkyl,

or

$CH(R^{52})-NR^{53}R^{54}$  wherein

$R^{52}$  represents  $(CH_2)_{1-4}-NH_2$ ,  $CH_2OH$ ,  $CH(CH_3)OH$ , or

( $C_1-C_3$ )alkyl; and

$R^{53}$  and  $R^{54}$  independently represent H or ( $C_1-C_3$ )alkyl.

10

15

5. The compound of claim 4

20

wherein

$R^1$  represents H;

$R^2$  represents  $O(C_1-C_3)$ alkyl or  $NR^3R^4$

wherein  $R^3$  and  $R^4$  are H or ( $C_1-C_3$ )alkyl;

$R^{2a}$  represents H;

25

$L$  represents O or  $CR^6R^7$ , wherein

$R^6$  and  $R^7$  are independently H,  $CH_3$ , or OH;

$G''$  represents a substituent selected from the group consisting of  $O(C_1-C_3)$ alkyl, halogen, and  $CF_3$ ;

$n$  and  $n'$  are independently 0 or 1, and provisos 1-3 do not apply;

30

$G$  and  $G'$  moieties are independently selected from the group consisting of:

G1) Cl or F;

G2)  $O(C_1-C_3)$ alkyl;

5 G3) OH ;

G4) ( $C_1$ - $C_3$ )alkyl, which is optionally substituted up to three times by halogen;

10 G5)  $OCF_3$  ;

G8)  $NR^{10}R^{11}$ , wherein

$R^{10}$  and  $R^{11}$  are independently selected from

H,

$CH_3$ ,

cyclopropyl,

benzyl,

$NR^{12}R^{13}$  wherein

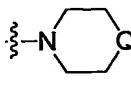
15  $R^{12}$  and  $R^{13}$  are independently H or ( $C_1$ - $C_3$ )alkyl,  
provided that both  $R^{10}$  and  $R^{11}$  are not  $NR^{12}R^{13}$   
simultaneously,

and

20 ( $C_2$ - $C_4$ )alkyl which is optionally substituted up to three times  
by halogen, and up to two times by substituent groups  
independently selected from hydroxyl,  $O(C_1$ - $C_3)$ alkyl,  
and  $NR^{14}R^{15}$ , wherein

$R^{14}$  and  $R^{15}$  are independently H or  
( $C_1$ - $C_3$ )alkyl, or

25  $R^{14}$  and  $R^{15}$  can join to form a heterocycle of

formula  wherein

Q represents  $CH_2$ , O, or  $NR^{16}$ , and

$R^{16}$  represents H or ( $C_1$ - $C_3$ )alkyl,

30 G12)  $OSO_2NR^{23}R^{24}$  wherein

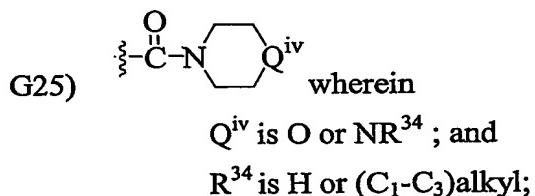
$R^{23}$  and  $R^{24}$  independently represent H,  $CH_3$ , or ( $C_2$ - $C_4$ )alkyl which  
may optionally be substituted once by OH or  $NR^{25}R^{26}$  ,  
wherein

R<sup>25</sup> and R<sup>26</sup> independently represent H or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

G21) C(O)NR<sup>28</sup>R<sup>29</sup>, wherein

$R^{28}$  and  $R^{29}$  are independently selected from

5 H  
and  
(C<sub>1</sub>-C<sub>3</sub>)alkyl which is optionally substituted up to two times by  
OH;



G26)  $C(O)NR^{35}(CH_2)_fOR^{36}$  wherein

15 R<sup>35</sup> is H or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

$R^{36}$  is ( $C_1$ - $C_6$ )alkyl optionally substituted up to two times by halogen, OH, or  $O(C_1$ - $C_3)$ alkyl, and the subscript "f" is an integer of 2-4; and

20 G31) N(R<sup>48</sup>)C(O)R<sup>49</sup> wherein

$R^{48}$  represents H or  $(C_1-C_3)$ alkyl; and

$R^{49}$  represents

$$(\text{CH}_2)_{1-3}\text{-CO}_2\text{H},$$

O(C<sub>2</sub>-C<sub>4</sub>)alkyl,

$(CH_2)_{1-4}-NR^{50}R^{51}$  wherein

$R^{50}$  and  $R^{51}$  independently represent H or  $(C_1-C_3)alkyl$ ,

Or

$\text{CH}(\text{R}^{52})\text{-NR}^{53}\text{R}^{54}$  wherein

$R^{52}$  represents  $(CH_2)_{1-4}-NH_2$ ,  $CH_2OH$ ,  $CH(CH_3)OH$ , or

(C<sub>1</sub>-C<sub>3</sub>)alkyl; and

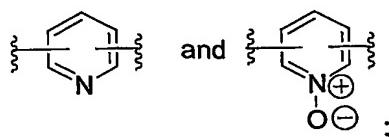
$R^{53}$  and  $R^{54}$  independently represent H or (C<sub>1</sub>-C<sub>3</sub>)alkyl.

wherein

R<sup>1</sup> represents H;

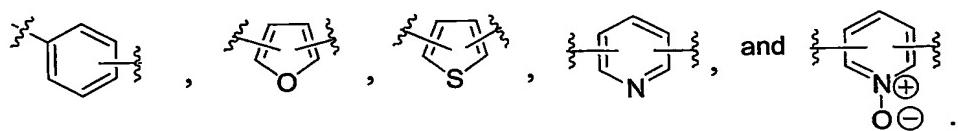
M represents CH;

J represents an aromatic or heteroaromatic ring selected from the group consisting of



5

Y represents an aromatic or heteroaromatic ring selected from the group consisting of



n and n' are independently 0, 1, 2, or 3, subject to the provisos that

- 1) ring J and ring Y each may be substituted independently up to 3 times by substituents listed below as numbers G1-G2, to a maximum total of 4 substituents on rings J and Y,
- 2) ring J and ring Y each may be substituted independently up to 2 times by substituents listed below as numbers G3-G5 and G8, to a maximum total of 3 substituents on rings J and Y, and
- 15 3) ring J and ring Y each may be substituted independently once by a substituent selected from those listed below as numbers G12, G22, and G31;

and subject to the further proviso

- 20 4) when J is pyridyl, n is 1, 2, or 3;

and proviso 5 does not apply;

G and G' moieties are independently selected from the group consisting of:

25 G1) halogen ;

G2) O(C<sub>1</sub>-C<sub>4</sub>)alkyl which optionally is substituted up to two times by O(C<sub>1</sub>-C<sub>2</sub>)alkyl;

G3) OH ;

G4) ( $C_1-C_5$ )alkyl, which is optionally substituted independently up to two times by groups selected from hydroxyl and cyano, or up to three times by halogen;

5 G5)  $OCF_3$ ;

G8)  $NR^{10}R^{11}$ , wherein  
 $R^{10}$  and  $R^{11}$  are independently selected from

H,

10  $CH_3$ ,

cyclopropyl,

benzyl,

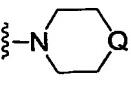
$NR^{12}R^{13}$  wherein

15  $R^{12}$  and  $R^{13}$  are independently H or ( $C_1-C_3$ )alkyl,  
provided that both  $R^{10}$  and  $R^{11}$  are not  $NR^{12}R^{13}$   
simultaneously,

and

20 ( $C_2-C_4$ )alkyl which is optionally substituted up to three times  
by halogen, and up to two times by substituent groups  
independently selected from hydroxyl,  $O(C_1-C_3)$ alkyl,  
and  $NR^{14}R^{15}$ , wherein

$R^{14}$  and  $R^{15}$  are independently H or  
( $C_1-C_3$ )alkyl, or  
 $R^{14}$  and  $R^{15}$  can join to form a heterocycle of

25 formula  wherein

Q represents  $CH_2$ , O, or  $NR^{16}$ , and

$R^{16}$  represents H or ( $C_1-C_3$ )alkyl,

or

30  $R^{10}$  and  $R^{11}$  may be joined to form a saturated 5-6-membered  
N-containing ring which is optionally substituted up to two  
times by

$OH$ ,

$NR^{17}R^{18}$ , wherein

$R^{17}$  and  $R^{18}$  are H or  $(C_1\text{-}C_3)\text{alkyl}$ ,

or by

$(C_1\text{-}C_3)\text{alkyl}$  which is optionally substituted up to two times by halogen, OH, or  $O(C_1\text{-}C_3)\text{alkyl}$ ;

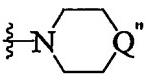
5

G12)  $\text{OSO}_2\text{NR}^{23}\text{R}^{24}$  wherein

$R^{23}$  and  $R^{24}$  independently represent H,  $\text{CH}_3$ , or  $(C_2\text{-}C_4)\text{alkyl}$  which may optionally be substituted once by OH or  $\text{NR}^{25}\text{R}^{26}$ , wherein

$R^{25}$  and  $R^{26}$  independently represent H or  $(C_1\text{-}C_3)\text{alkyl}$ ;

10

G22)  wherein

$Q''$  is O or  $\text{NR}^{30}$ , and

15

$R^{30}$  is

H,

cyclopropyl, or

$(C_1\text{-}C_3)\text{alkyl}$  which is optionally substituted once by halogen, OH, or  $O(C_1\text{-}C_3)\text{alkyl}$ ; and

20

G31)  $\text{N}(\text{R}^{48})\text{C}(\text{O})\text{R}^{49}$  wherein

$R^{48}$  represents H or  $(C_1\text{-}C_3)\text{alkyl}$ ; and

$R^{49}$  represents

$(\text{CH}_2)_{1\text{-}3}\text{-CO}_2\text{H}$ ,

$\text{O}(\text{C}_2\text{-}\text{C}_4)\text{alkyl}$ ,

$(\text{CH}_2)_{1\text{-}4}\text{-NR}^{50}\text{R}^{51}$  wherein

$R^{50}$  and  $R^{51}$  independently represent H or  $(C_1\text{-}C_3)\text{alkyl}$ ,

or

$\text{CH}(\text{R}^{52})\text{-NR}^{53}\text{R}^{54}$  wherein

25

$R^{52}$  represents  $(\text{CH}_2)_{1\text{-}4}\text{-NH}_2$ ,  $\text{CH}_2\text{OH}$ ,  $\text{CH}(\text{CH}_3)\text{OH}$ , or

$(C_1\text{-}C_3)\text{alkyl}$ ; and

30

$R^{53}$  and  $R^{54}$  independently represent H or  $(C_1\text{-}C_3)\text{alkyl}$ .

7. The compound of claim 6

wherein

R<sup>1</sup> represents H;

R<sup>2</sup> represents O(C<sub>1</sub>-C<sub>3</sub>)alkyl, or NR<sup>3</sup>R<sup>4</sup>

5 wherein R<sup>3</sup> and R<sup>4</sup> are H or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

R<sup>2a</sup> represents H;

L represents O or CR<sup>6</sup>R<sup>7</sup>, wherein

R<sup>6</sup> and R<sup>7</sup> are independently H, CH<sub>3</sub>, or OH;

G" represents a substituent selected from the group consisting of O(C<sub>1</sub>-C<sub>3</sub>)alkyl,

10 halogen, and CF<sub>3</sub>;

n and n' are independently 0 or 1, and provisos 1-3 do not apply;

G and G' moieties are independently selected from the group consisting of:

G1) Cl or F;

15

G2) O(C<sub>1</sub>-C<sub>3</sub>)alkyl;

G3) OH ;

20 G4) (C<sub>1</sub>-C<sub>3</sub>)alkyl, which is optionally substituted up to three times by halogen;

G5) OCF<sub>3</sub>;

25 G8) NR<sup>10</sup>R<sup>11</sup>, wherein

R<sup>10</sup> and R<sup>11</sup> are independently selected from

H,

CH<sub>3</sub>,

cyclopropyl,

benzyl,

NR<sup>12</sup>R<sup>13</sup> wherein

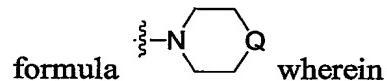
R<sup>12</sup> and R<sup>13</sup> are independently H or (C<sub>1</sub>-C<sub>3</sub>)alkyl,  
provided that both R<sup>10</sup> and R<sup>11</sup> are not NR<sup>12</sup>R<sup>13</sup>  
simultaneously,

and

(C<sub>2</sub>-C<sub>4</sub>)alkyl which is optionally substituted up to three times by halogen, and up to two times by substituent groups independently selected from hydroxyl, O(C<sub>1</sub>-C<sub>3</sub>)alkyl, and NR<sup>14</sup>R<sup>15</sup>, wherein

R<sup>14</sup> and R<sup>15</sup> are independently H or (C<sub>1</sub>-C<sub>3</sub>)alkyl, or

R<sup>14</sup> and R<sup>15</sup> can join to form a heterocycle of



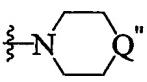
Q represents CH<sub>2</sub>, O, or NR<sup>16</sup>, and

R<sup>16</sup> represents H or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

G12) OSO<sub>2</sub>NR<sup>23</sup>R<sup>24</sup> wherein

R<sup>23</sup> and R<sup>24</sup> independently represent H, CH<sub>3</sub>, or (C<sub>2</sub>-C<sub>4</sub>)alkyl which may optionally be substituted once by OH or NR<sup>25</sup>R<sup>26</sup>, wherein

R<sup>25</sup> and R<sup>26</sup> independently represent H or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

G22)  wherein

Q'' is O or NR<sup>30</sup>, and

R<sup>30</sup> is H or (C<sub>1</sub>-C<sub>3</sub>)alkyl; and

G31) N(R<sup>48</sup>)C(O)R<sup>49</sup> wherein

R<sup>48</sup> represents H or (C<sub>1</sub>-C<sub>3</sub>)alkyl; and

R<sup>49</sup> represents

(CH<sub>2</sub>)<sub>1-3</sub>-CO<sub>2</sub>H,

O(C<sub>2</sub>-C<sub>4</sub>)alkyl,

(CH<sub>2</sub>)<sub>1-4</sub>-NR<sup>50</sup>R<sup>51</sup> wherein

R<sup>50</sup> and R<sup>51</sup> independently represent H or (C<sub>1</sub>-C<sub>3</sub>)alkyl,

or

CH(R<sup>52</sup>)-NR<sup>53</sup>R<sup>54</sup> wherein

$R^{52}$  represents  $(CH_2)_{1-4}-NH_2$ ,  $CH_2OH$ ,  $CH(CH_3)OH$ , or  $(C_1-C_3)alkyl$ ; and  
 $R^{53}$  and  $R^{54}$  independently represent H or  $(C_1-C_3)alkyl$ .

- 5 8. A compound selected from the group consisting of  
4-{3-[(2-amino-6-phenylpyrimidin-4-yl)amino]phenoxy}-*N*-methylpyridine-2-carboxamide;  
4-{3-[(2-amino-6-phenylpyrimidin-4-yl)amino]phenoxy}pyridine-2-carboxamide;  
4-{4-[(2-amino-6-phenylpyrimidin-4-yl)amino]phenoxy}pyridine-2-carbonitrile;  
10 6-phenyl-*N*<sup>4</sup>-(4-{[2-(trifluoromethyl)pyridin-4-yl]oxy}phenyl)pyrimidine-2,4-diamine;  
*N*<sup>4</sup>-{4-[(2-chloropyridin-4-yl)oxy]phenyl}-6-phenylpyrimidine-2,4-diamine;  
4-{2-amino-6-[(4-{[2-(trifluoromethyl)pyridin-4-yl]oxy}phenyl)amino]pyrimidin-4-yl}phenyl sulfamate;  
15 *N*-(4-{2-amino-6-[(4-{[2-(trifluoromethyl)pyridin-4-yl]oxy}phenyl)amino]pyrimidin-4-yl]oxy}phenyl)glycinamide trifluoroacetate;  
6-(4-aminophenyl)-*N*<sup>4</sup>-(4-{[2-(trifluoromethyl)pyridin-4-yl]oxy}phenyl)pyrimidine-2,4-diamine;  
20 6-(6-aminopyridin-3-yl)-*N*<sup>4</sup>-(4-{[2-(trifluoromethyl)pyridin-4-yl]oxy}phenyl)pyrimidine-2,4-diamine;  
6-pyridin-3-yl-*N*<sup>4</sup>-(4-{[2-(trifluoromethyl)pyridin-4-yl]oxy}phenyl)pyrimidine-2,4-diamine;  
25 *N*-[(4-{4-[(2-amino-6-phenylpyrimidin-4-yl)amino]phenoxy}pyridin-2-yl)methyl]-4-methoxybenzenesulfonamide trifluoroacetate;  
*N*-[(4-{4-[(2-amino-6-phenylpyrimidin-4-yl)amino]phenoxy}pyridin-2-yl)methyl]methanesulfonamide trifluoroacetate;  
and  
(4-{4-[(2-amino-6-phenylpyrimidin-4-yl)amino]phenoxy}pyridin-2-yl)methanol trifluoroacetate (salt).  
30 9. A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

10. A method of treatment for a hyperproliferative disorder comprising administering an effective amount of a compound of claim 1 to a subject in need thereof.
11. The method of claim 10 wherein said hyperproliferative disorder is cancer.